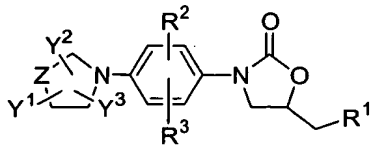
CLAIMS

1. A compound that is an oxazolidinone derivative of the formula (I)

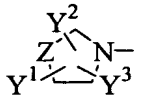
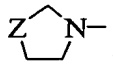


(I)

or a salt thereof, or a stereoisomer thereof, where

R^1 represents -NHR^4 wherein R^4 represents thio(C_1-C_{10})acyl, - -C(=S)-cyclo(C_3-C_8)alkoxy, -C(=S)-(C_1-C_{10})alkoxy, -C(=S)-(C_2-C_{10})alkenyloxy, -C(=S)-aryloxy, (C=S)-S-(C_1-C_{10})alkyl, -(C=S)-NH_2, -(C=S)-NH-(C_1-C_{10})alkyl, -C(=S)-N-((C_1-C_{10})alkyl)$_2$, -C(=S)-NH-(C_2-C_{10})alkenyl, (C=S)-(C=O)-(C_1-C_{10})alkoxy, -(C=S)-(C=O)-aryloxy, -C(=S)-O-(C=O)-(C_1-C_{10})alkyl, C(=S)-C(=S)-(C_1-C_{10})alkyl, -C(=S)-C(=S)-aryl, -C(=S)-thiomorpholinyl or –C(=S)-pyrrolidinyl;

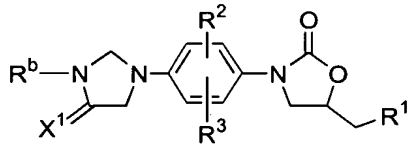
R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10})alkyl;

 is a heterocyclic moiety in which  is a 5-membered heterocyclic skeleton, Z represents O, S, =CH, -CH_2 or NR^b, where R^b is hydrogen or a moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_3-C_8)cycloalkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy, aryl, aralkyl, aryloxy, (C_1-C_{10})alkylcarbonyl, arylcarbonyl, (C_1-C_{10}) alkoxycarbonyl and aryloxycarbonyl;

Y^1 represents =O or =S group and Y^2 and Y^3 independently represent hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylhydroxy, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyl, (C_1-C_{10})alkoxycarbonyl, arylcarbonyl, carboxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylsulfonyl, (C_1-C_{10})alkylcarbony(C_1-C_{10})alkyl, arylcarbonylamino(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyloxy(C_1-C_{10})alkyl, amino(C_1-C_{10})alkyl, mono(C_1-C_{10})alkylamino, di(C_1-C_{10})alkylamino, arylamino, (C_1-C_{10})alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; Y^2 and Y^3 when present on adjacent

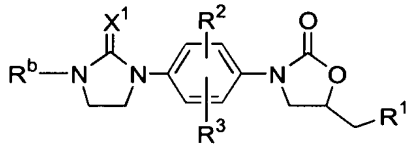
carbon atoms together may also form a substituted or unsubstituted 5 or 6 membered aromatic or non-aromatic cyclic structure, optionally containing one or two hetero atoms selected from oxygen, sulfur and nitrogen.

2. The compound of claim 1 having the structure



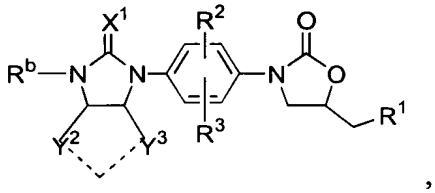
wherein X^1 is oxygen or sulfur.

3. The compound of claim 1 having the structure



wherein X^1 is oxygen or sulfur.

4. The compound of claim 1 having the structure



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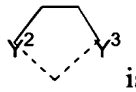
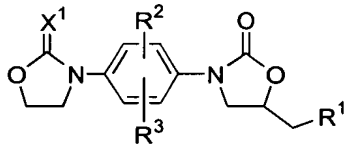
wherein X^1 is oxygen or sulfur, and  is a substituted or unsubstituted 5- or 6-membered aromatic or non-aromatic cyclic structure optionally having one or two hetero atoms, formed by Y^2 and Y^3.

5. The compound of claim 1 having the structure



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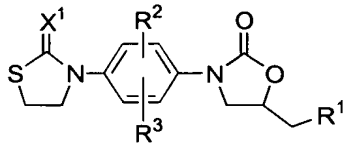
wherein X^1 is oxygen or sulfur.

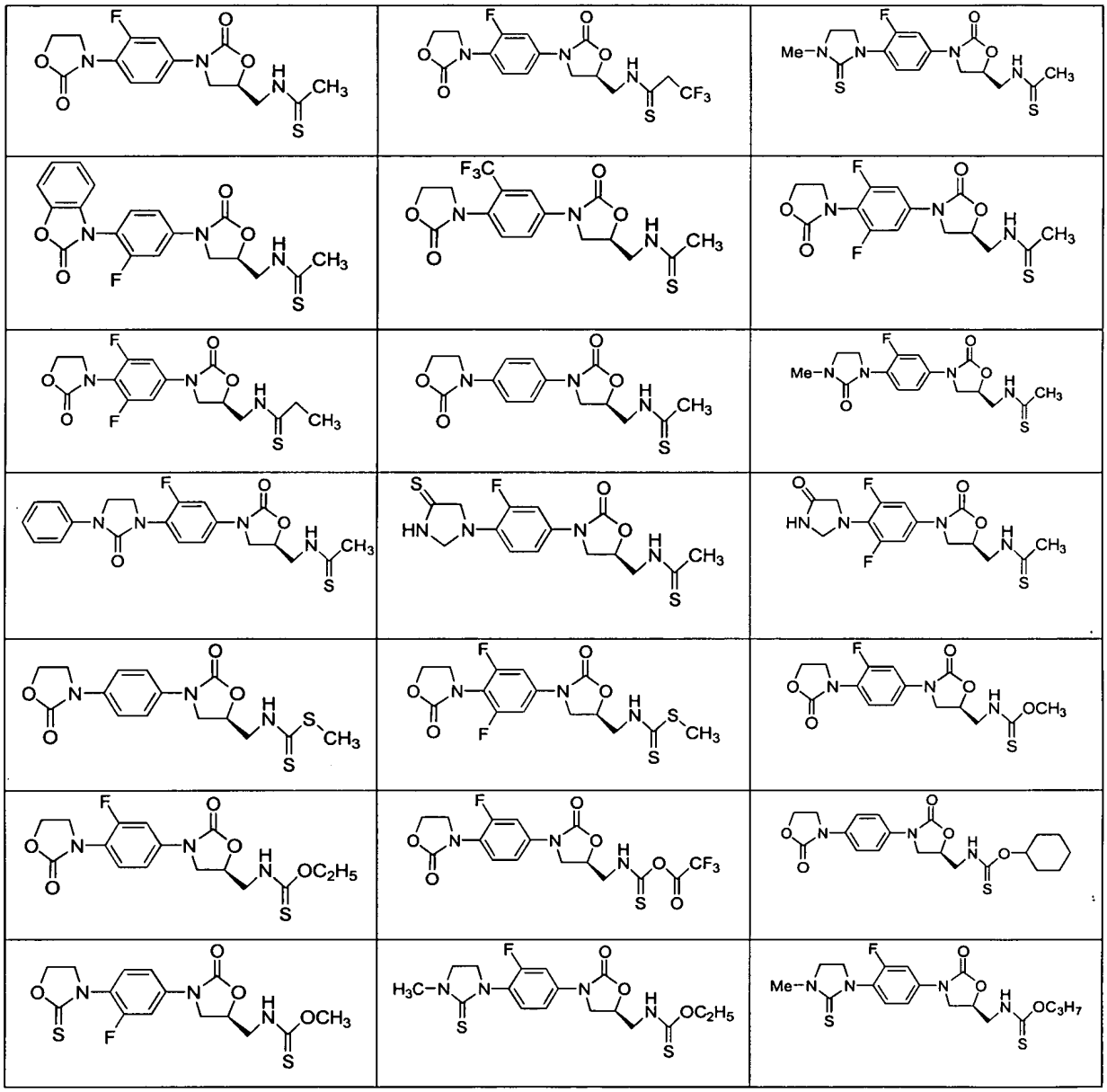
6. The compound of claim 1 having the structure

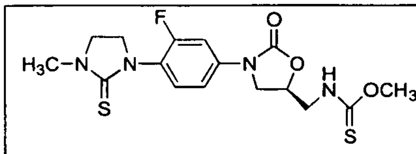
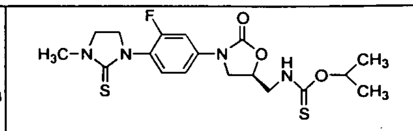
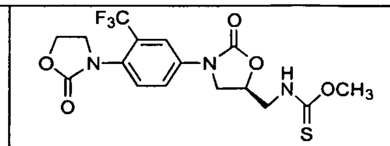
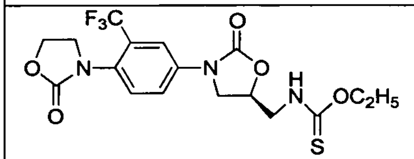
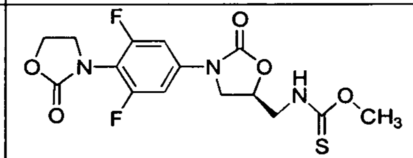
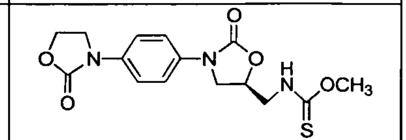
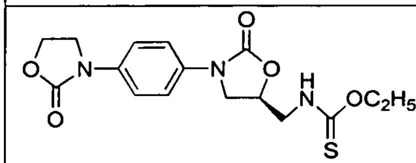
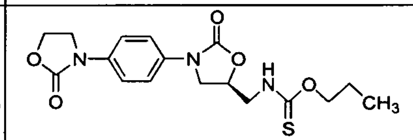
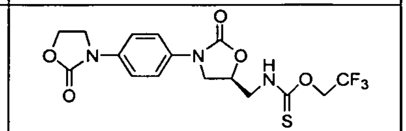
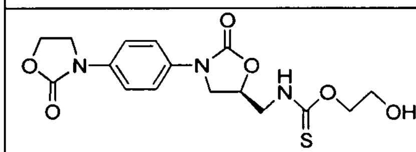
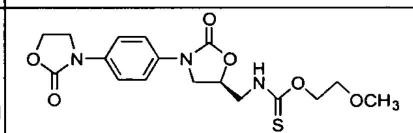
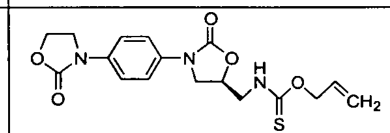
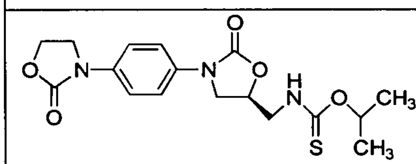
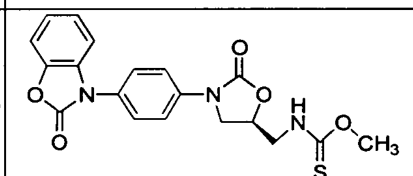
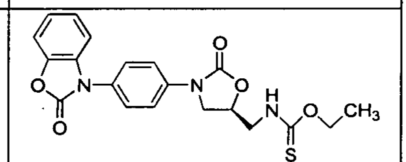
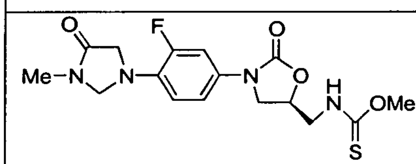
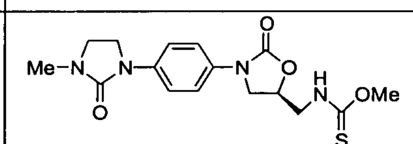
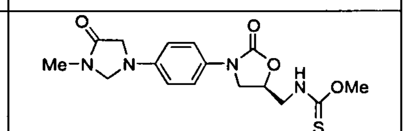
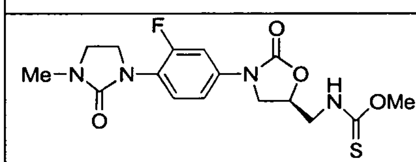
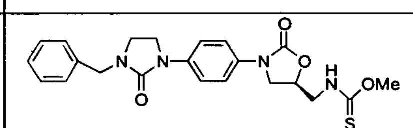
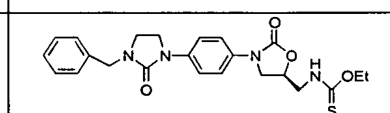
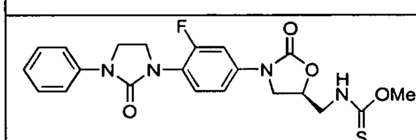
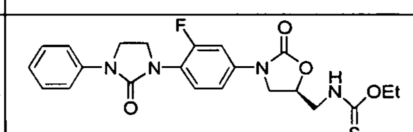
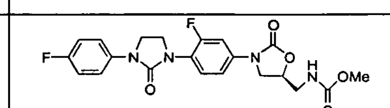


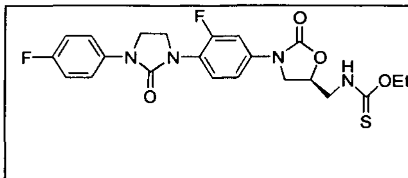
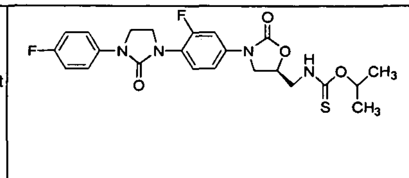
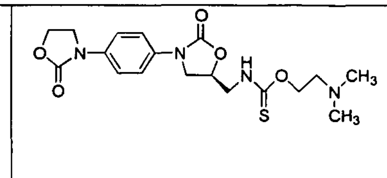
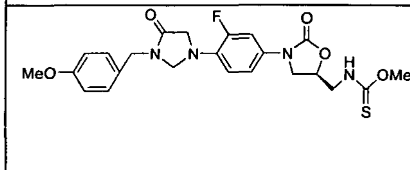
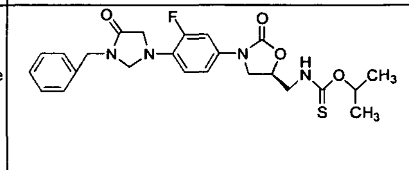
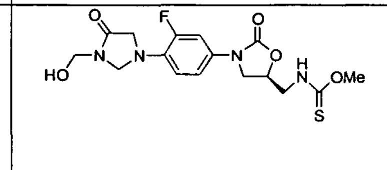
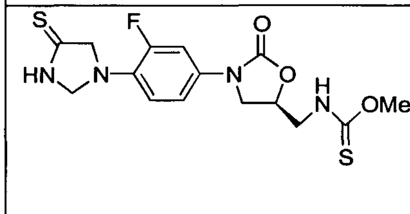
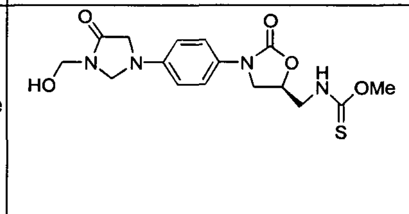
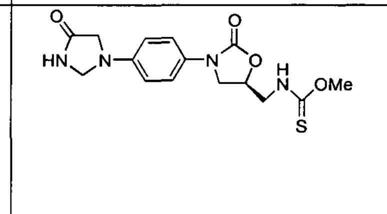
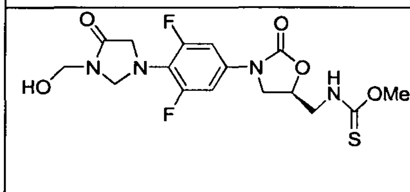
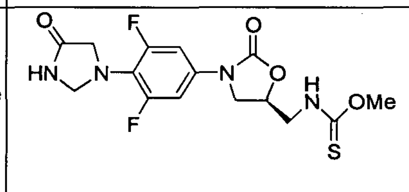
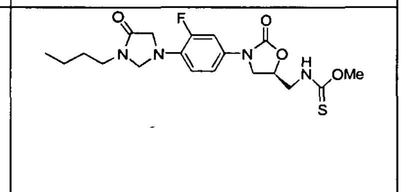
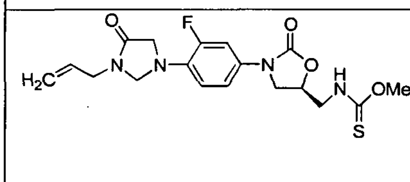
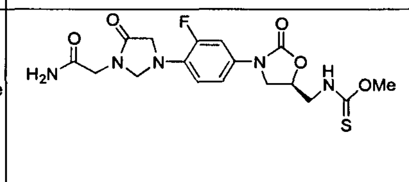
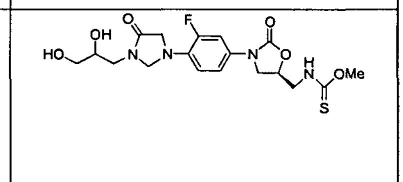
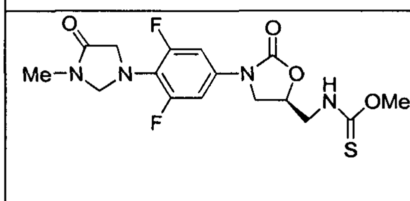
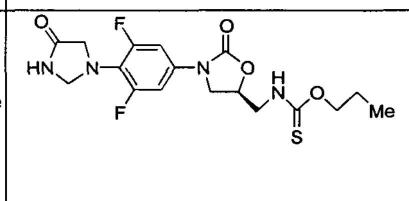
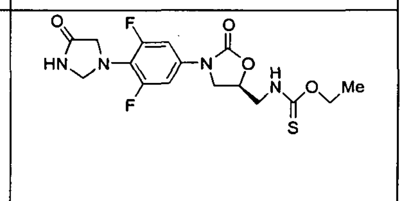
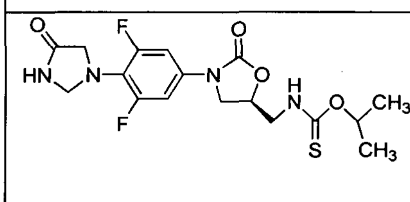
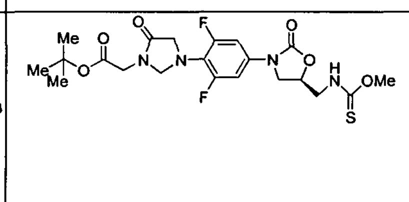
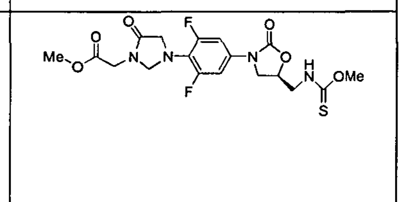
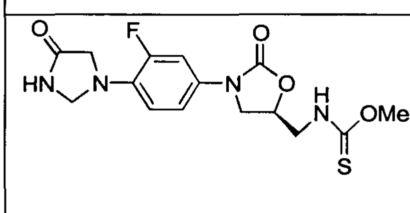
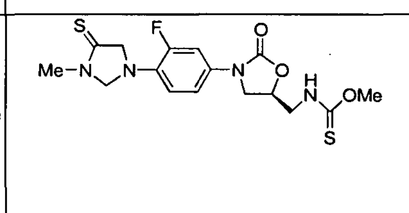
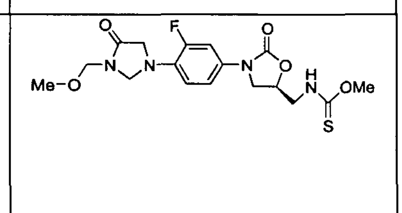
wherein X^1 is oxygen or sulfur.

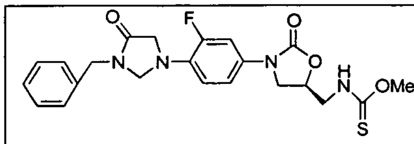
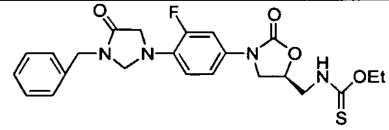
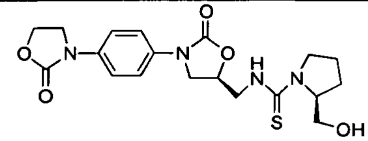
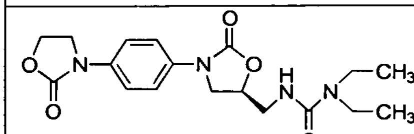
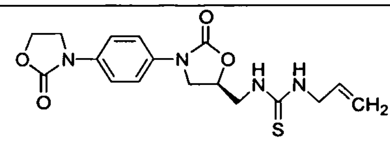
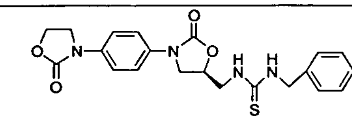
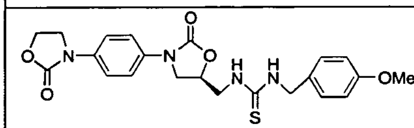
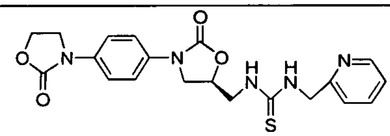
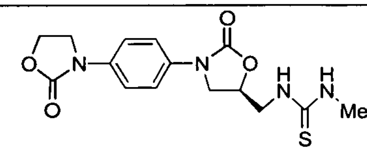
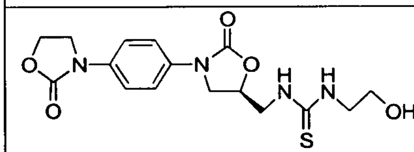
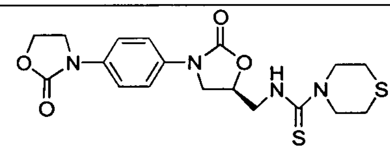
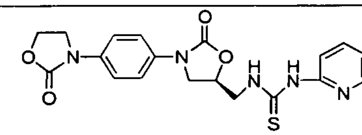
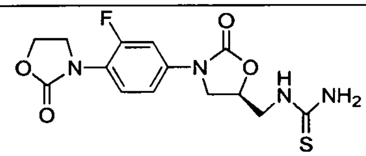
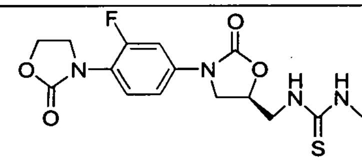
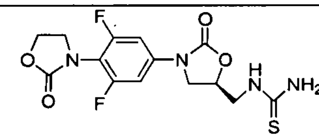
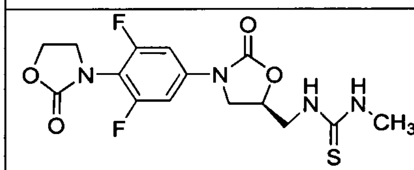
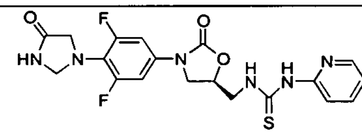
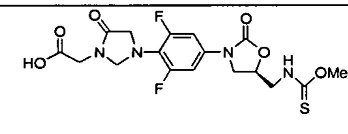
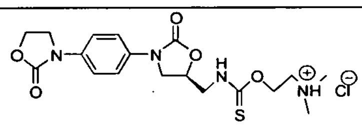
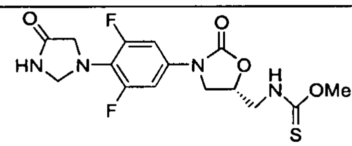
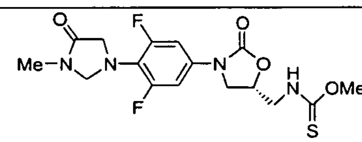
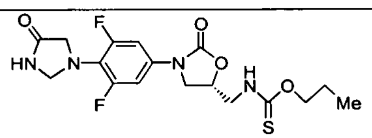
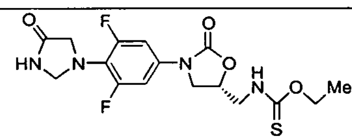
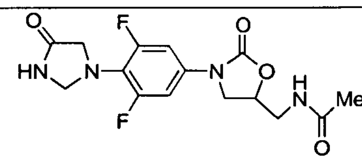
7. The compound of claim 4, wherein said cyclic structure formed by Y^2 and Y^3 is benzene, pyridine, pyrrolidine, furan, thiophene, morpholine, piperazine or pyrrole.

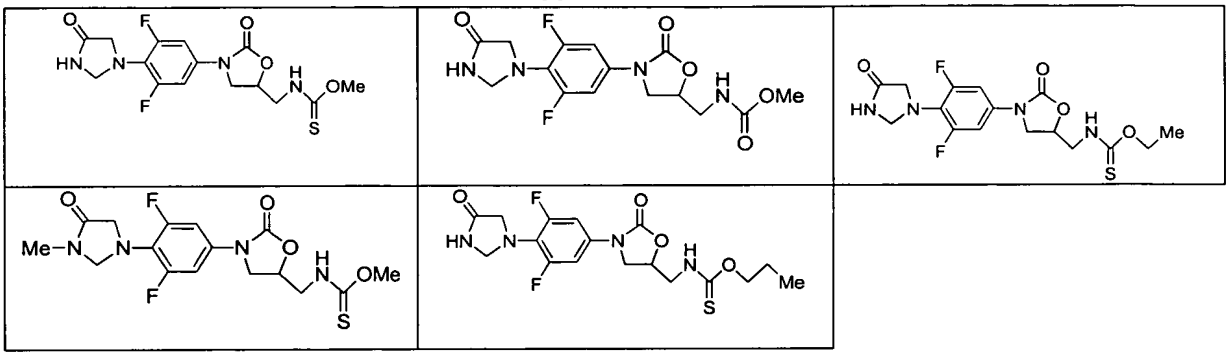
8. The compound of the formula (I) as defined according to claim 1 which is selected from:



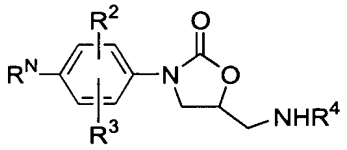
		
		
		
		
		
		
		
		

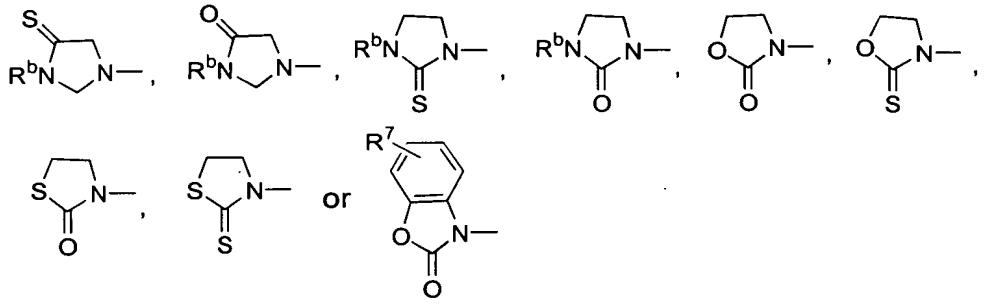
		
		
		
		
		
		
		
		



9. A compound that is an oxazolidinone derivative of the structure



or a salt thereof, or a stereoisomer thereof, where R^N is



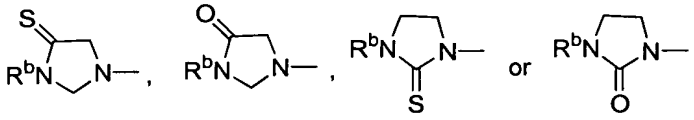
wherein R^b is hydrogen or a moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of $(C_1\text{-}C_{10})$alkyl, $(C_2\text{-}C_{10})$alkenyl, $(C_3\text{-}C_8)$cycloalkyl, hydroxy$(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkylhydroxy, $(C_1\text{-}C_{10})$alkylamino, amino$(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkoxy, aryl, aralkyl, aryloxy, $(C_1\text{-}C_{10})$alkylcarbonyl, arylcarbonyl, $(C_1\text{-}C_{10})$alkoxycarbonyl, or aryloxycarbonyl; R^7 represents hydrogen, $(C_1\text{-}C_{10})$alkyl or $(C_1\text{-}C_{10})$alkoxy;

R^2 and R^3, which may be same or different, are each independently hydrogen, halo, $(C_1\text{-}C_{10})$alkyl, halogenated$(C_1\text{-}C_{10})$alkyl, hydroxyl, $(C_1\text{-}C_{10})$alkoxy or $(C_1\text{-}C_{10})$alkylhydroxy; and

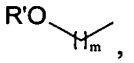
R^4 represents hydrogen atom, or substituted or unsubstituted groups selected from thio$(C_1\text{-}C_{10})$acyl, -C(=S)-$(C_1\text{-}C_{10})$alkoxy, -C(=S)-$(C_2\text{-}C_{10})$alkenyloxy, -C(=S)-aryloxy, -(C=S)-S-$(C_1\text{-}C_{10})$alkyl, -(C=S)-NH_2, -(C=S)-NH-$(C_1\text{-}C_{10})$alkyl, -C(=S)-N-$((C_1\text{-}C_{10})\text{alkyl})_2$, -C(=S)-NH-$(C_2\text{-}C_{10})$alkenyl, (C=S)-(C=O)-$(C_1\text{-}C_{10})$alkoxy, -(C=S)-

(C=O)-aryloxy, -C(=S)-O-(C=O)-(C_1-C_{10})alkyl, C(=S)-C(=S)-(C_1-C_{10})alkyl, -C(=S)-C(=S)-aryl, -C(=S)-thiomorpholinyl or -C(=S)-pyrrolidinyl.

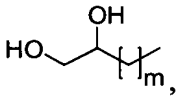
10. The compound of claim 9, wherein R^N has the structure



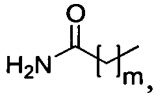
in which R^b is hydrogen, substituted or unsubstituted (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_1-C_{10})alkylhydroxy, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylamino, amino(C_1-C_{10})alkyl, halogenated(C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl halogenated(C_1-C_{10})alkylhydroxy, the group of the structure



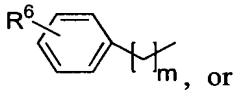
the group of the structure



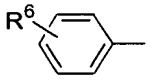
the group of the structure



the group of the structure

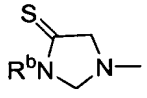


the group of the structure



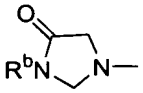
in which R' is hydrogen, (C_1-C_{10})alkyl or carboxy (C_1-C_{10})alkyl; R^6 is hydrogen, halo or (C_1-C_{10})alkoxy and m is ranging from 1 to 4.

11. The compound of claim 10, wherein R^N is



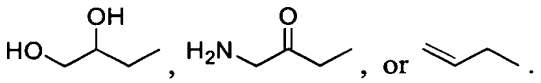
12. The compound of claim 11, wherein R^b is hydrogen or methyl.

13. The compound of claim 10 wherein R^N is selected from

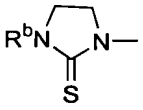


14. The compound of claim 13, wherein R^b is hydrogen, methyl, benzyl, p-methoxybenzyl, n-butyl, propenyl or methylhydroxy.

15. The compound of claim 13, wherein R^b has the structure

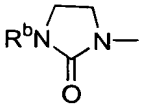


16. The compound of claim 10, wherein R^N is



17. The compound of claim 16, wherein R^b is methyl.

18. The compound of claim 10, wherein R^N is

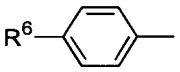


19. The compound of claim 18, wherein R^b is methyl, benzyl, p-fluorobenzyl, p-fluorophenyl or phenyl.

20. The compound of claim 10, wherein R^b has the structure

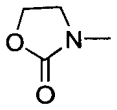
in which R^6 is hydrogen, fluoro or methoxy group.

21. The compound of claim 10, wherein R^b has the structure

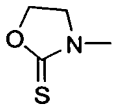


where R^6 is hydrogen, fluoro or methoxy group.

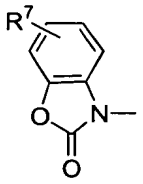
22. The compound of claim 9, wherein R^N is



23. The compound of claim 9, wherein R^N is

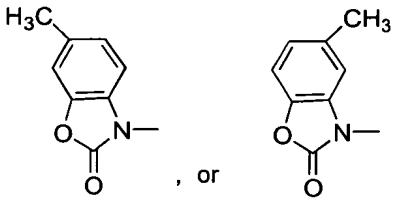


24. The compound of claim 9, wherein R^N has the structure



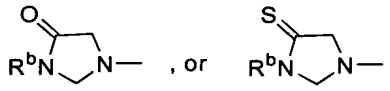
where R^7 is hydrogen, $(C_1\text{-}C_{10})$alkyl or $(C_1\text{-}C_{10})$alkoxy.

25. The compound of claim 9, wherein R^N has the structure



26. The compound of claim 9, wherein R^2 and R^3 are each independently hydrogen, fluoro or trifluoromethyl.

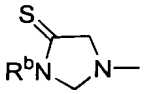
27. The compound of claim 9, wherein R^N has the structure



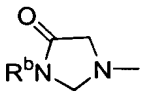
in which R^b is hydrogen, substituted or unstubstituted $(C_1\text{-}C_{10})$alkyl, halogenated $(C_1\text{-}C_{10})$alkyl, $(C_2\text{-}C_{10})$alkenyl, aralkyl, $(C_1\text{-}C_{10})$alkylcarbonyl, $(C_1\text{-}C_{10})$alkoxy$(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkylhydroxy, hydroxy$(C_1\text{-}C_{10})$alkyl, $(C_1\text{-}C_{10})$alkylamino, amino$(C_1\text{-}C_{10})$alkyl, dihydroxy$(C_1\text{-}C_{10})$alkyl, halogenated hydroxy$(C_1\text{-}C_{10})$alkyl, halogenated$(C_1\text{-}C_{10})$ alkylhydroxy; wherein R^4 is –C(=S)-H, –C(=S)-$(C_1\text{-}C_{10})$alkyl, –C(=S)-$(C_1\text{-}C_{10})$alkoxy, –C(=S)-NH_2, –C(=S)-hydroxy$(C_1\text{-}C_{10})$alkyl, –C(=S)-halogenated$(C_1\text{-}C_{10})$alkyl,–C(=S)-phenyl; and

R^2 and R^3 are each independently hydrogen, fluoro or trifluoromethyl group.

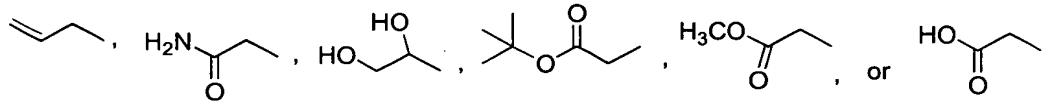
28. The compound of claim 27, wherein R^N is



29. The compound of claim 27, wherein R^N has the structure

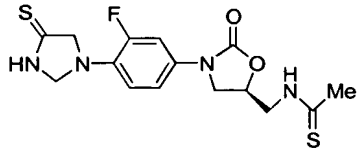


in which R^b is hydrogen, methyl, ethyl, propyl, n-butyl, benzyl, p-methoxybenzyl, hydroxy ethyl (ethylhydroxy), methoxyethyl, propenyl,

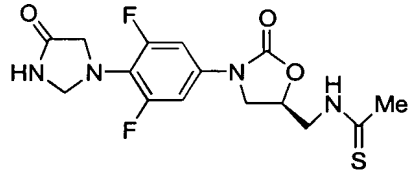


and R^4 is –C(=S)-CH_3. –C(=S)-OCH_3. –C(=S)-OCH_2CH_3. –C(=S)-(*iso*-propoxy) or –C(=S)-NH(pyridyl).

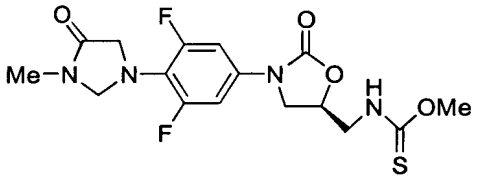
30. The compound of claim 28 having the structure



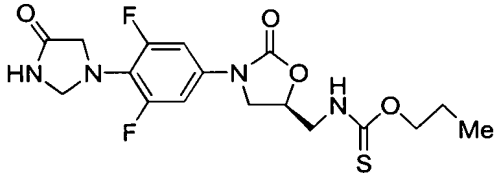
31. The compound of claim 29 having the structure



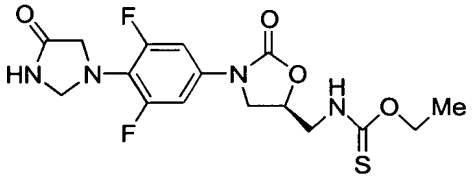
32. The compound of claim 29 having the structure



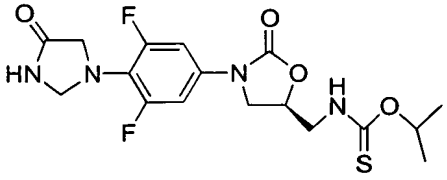
33. The compound of claim 29 having the structure



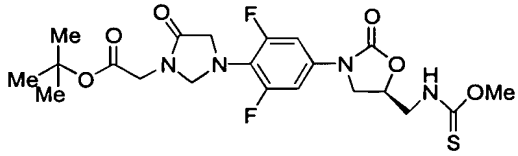
34. The compound of claim 29 having the structure



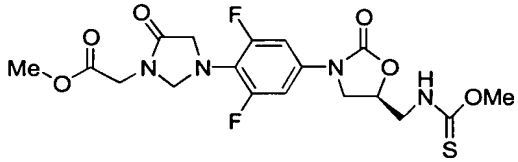
35. The compound of claim 29 having the structure



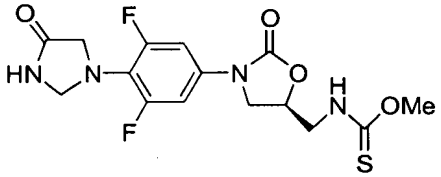
36. The compound of claim 29 having the structure



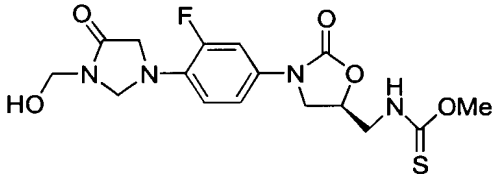
37. The compound of claim 29 having the structure



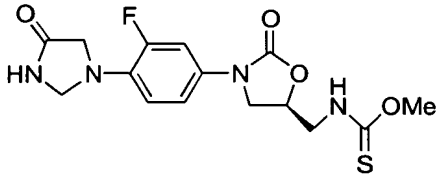
38. The compound of claim 29 having the structure



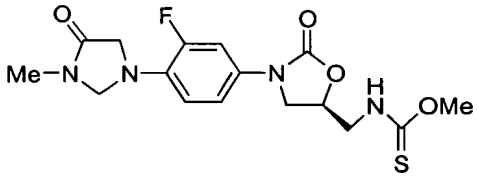
39. The compound of claim 29 having the structure



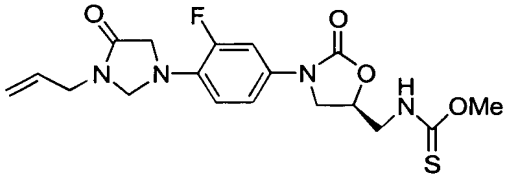
40. The compound of claim 29 having the structure



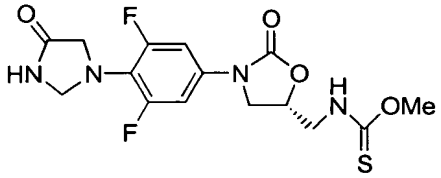
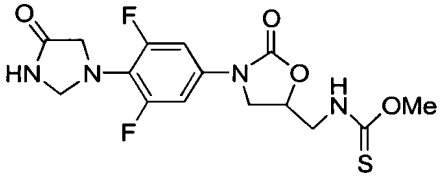
41. The compound of claim 29 having the structure



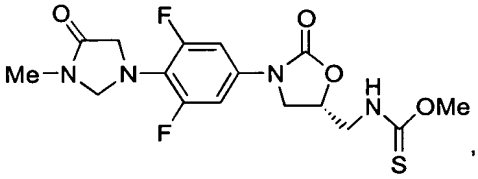
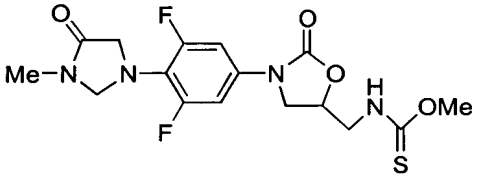
42. The compound of claim 29 having the structure



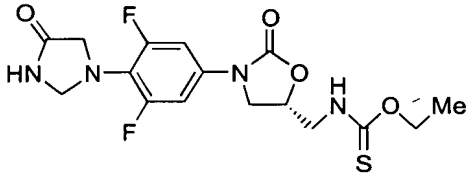
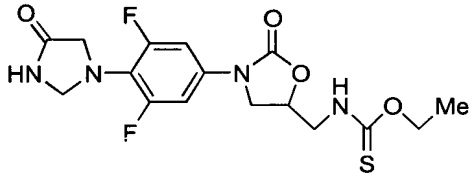
43. The compound of claim 29 having the structure


, or


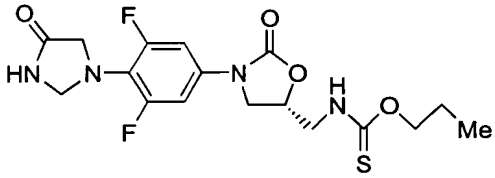
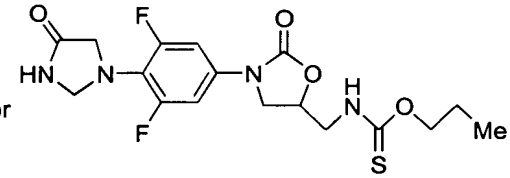
44. The compound of claim 29 having the structure


, or


45. The compound of claim 29 having the structure

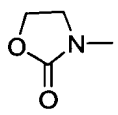

, or


46. The compound of claim 29 having the structure


, or


47. The compound of claim 9, wherein R^4 is –C(=S)-(C_1-C_{10})alkyl, –C(=S)-halogenated(C_1-C_{10})alkyl, –C(=S)-S-(C_1-C_{10})alkyl, –C(=S)-(C_1-C_{10})alkoxy, –C(=S)-O-C(=O)-(C_1-C_{10})alkyl, -C(=S)-(C_3-C_8)cycloalkoxy, -C(=S)-(C_2-C_{10})alkenyloxy, -C(=S)-pyrrolidinyl, -C(=S)-NH_2, -C(=S)-N((C_1-C_{10})alkyl)$_2$, –C(=S)-NH-(C_2-C_{10})alkenyl, -C(=S)-thiomorpholinyl;

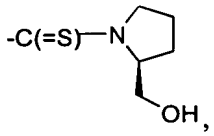
R^N has the structure



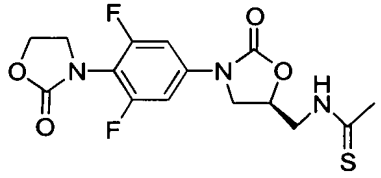
and

R^2 and R^3 are each independently hydrogen, fluoro or trifluoromethyl group.

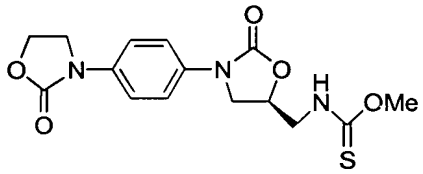
48. The compound of claim 47, where in R^4 is $-C(=S)-CH_3$, $-C(=S)-CH_2-CH_3$, $-C(=S)-CH_2-CF_3$, $-C(=S)-S-CH_3$, $-C(=S)-O-CH_3$, $-C(=S)-O-CH_2-CH_3$, $-C(=S)-O-CH_2-CH_2-CH_3$, $-C(=S)-O-$(*iso*-propyl), $-C(=S)-O-CH_2-CF_3$, $-C(=S)-O$-cyclohexyl, $-C(=S)-O-CH_2-CH=CH_2$, $-C(=S)-CH_2-CH_2-N(CH_3)_2$, , $-C(=S)-O-CH_2-CH_2OH$, $-C(=S)-CH_2-CH_2.OCH_3$,, $-C(=S)-O-C(=O)-CF_3$, $-C(=S)-NH^2$, $-C(=S)-NH-CH_2$, $C(=S)-NH-CH_2-CH_2-OH$, $-C(=S)-N(CH_2CH_3)_2$, $-C(=S)-NH-CH_2-CH=CH_2$, -C(=S)-NH-benzyl, -C(=S)-NH-pyridyl, -C(=S)-NH-(p-methoxybenzyl), $-C(=S)-NH-CH_2$-pyridyl, -C(=S)-thiomorpholinyl, $^{-C(=S)-O-CH_2-CH_2-,\overset{+}{N}H\overset{-}{Cl}}$, or



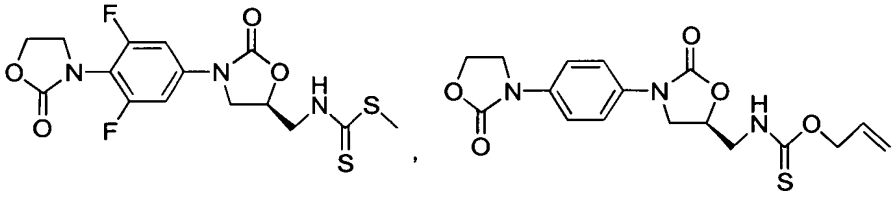
49. The compound of claim 48 having the structures



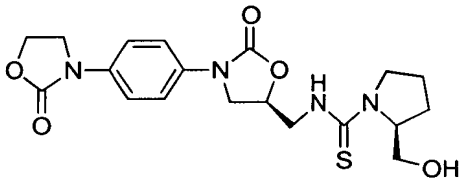
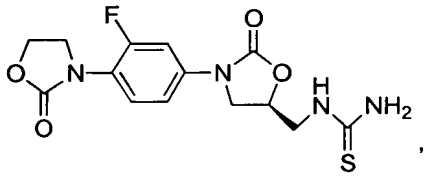
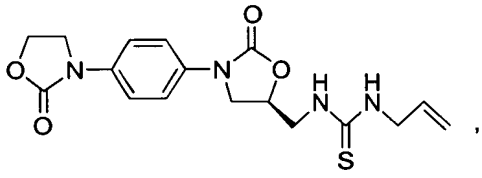
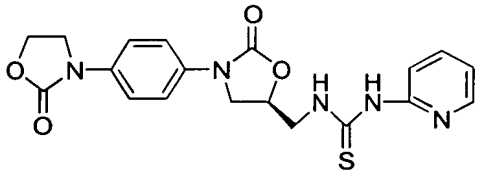
50. The compound of claim 48 having the structure



51. The compound of claim 48 having the structure



52. The compound of claim 48 having the structure


,

,

,

.

53. The compound of claim 1, 8 or 9, wherein said salt is a pharmaceutically acceptable salt.

54. The compound of claim 53, wherein said pharmaceutically-acceptable salt is a basic addition salt.

55. The compound of claim 54, wherein said basic addition salt is selected from the group consisting of salts of Li, Na, K, Ca, Mg, Fe, Cu, Zn, Al and Mn.

56. The compound of claim 54, wherein said basic addition salt is a salt of a chiral base.

57. The compound of claim 54, wherein said basic addition salt is a salt of an organic base.

58. The compound of claim 1, 8 or 9, wherein said salt is a salt of guanidine, substituted guanidine salts, ammonium, or substituted ammonium.

59. The compound of claim 53, wherein said pharmaceutically-acceptable salt is an acid addition salt.

60. The compound of claim 1, 8 or 9, wherein said salt is a salt of a natural amino acid, a synthetic amino acid, or a substituted amino acids.

61. The compound of claim 1, 8 or 9, which is optically active.

62. The compound of claim 1, 8 or 9, which is racemic.

63. A tautomeric form of the compound of claim 1, 8 or 9.

64. An *in vivo* hydrolysable precursor of the compound of claims 1, 8 or 9.

65. The *in vivo* hydrolysable precursor of claim 64, which is an ester.

66. The compound of claim 53, wherein said salt of organic base is selected from the group consisting of salts of N,N'-diacetylethylenediamine, betaine, caffeine, 2-diethylaminoethanol, 2-dimethylaminoethanol, N-ethylmorpholine, N-ethylpiperidine,

glucamine, glucosamine, hydrabamine, isopropylamine, methylglucamine, morpholine, piperazine, piperidine, procaine, purines, theobromine, triethylamine, trimethylamine, tripropylamine, tromethamine, diethanolamine, meglumine, ethylenediamine, N,N'-diphenylethylenediamine, N,N'-dibenzylethylenediamine, N-benzyl phenylethylamine, choline, choline hydroxide, dicyclohexylamine, metformin, benzylamine, phenylethylamine, dialkylamine, trialkylamine, thiamine, aminopyrimidine, aminopyridine, purine, and spermidine.

67. The compound of claim 56, wherein said salt of chiral base is selected from the group consisting of salts of alkylphenylamine, glycinol, and phenyl glycinol.

68. The compound of claim 60, wherein said natural amino acid is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, norleucine, tyrosine, cystine, cysteine, methionine, proline, hydroxy proline, histidine, ornithine, lysine, arginine, serine, threonine, and phenylalanine.

69. The compound of claim 59, wherein said from acid addition salt is selected from sulphate, nitrate, phosphate, perchlorate, borate, halide, acetate, tartrate, maleate, citrate, succinate, palmoates, methanesulphonate, benzoate, salicylate, hydroxynaphthoate, benzenesulfonate, ascorbate, glycerophosphate, and ketoglutarate.

70. A method for inhibiting the growth of bacteria in a subject having a bacterial infection, which comprises administering to the subject an amount of the compound of claim 1, 8, or 9 effective to inhibit the growth of the bacteria.

71. The method according to claim 70, wherein the bacterial infection is caused by the drug susceptible or resistance pathogens.

72. The method according to claim 71, wherein drug resistance pathogens are selected from Methicillin-Resistant Staphylococcus Aureas (MRSA), streptococci, enterococci, anaerobic organisms, Clostridia spp. species and acid-fast organisms.

73. The method of claim 71, wherein said drug resistance pathogens are Str pneumoniae or Str pyogenes.

74. The method of claim 71, wherein said drug resistance pathogen is Bacteroides spp.

75. The method of claim 71, wherein said drug resistance pathogen is Mycobacterium tuberculosis, Mycobacterium avium and Mycobacterium spp. Fastidious Gram negative organisms, Hemophilus influenzae (H Influenzae) or Morexella catarrhalis (M Catarrhalis).

76. The method of claim 70, wherein the bacterial infection is caused by the Fluoroquinolone resitant bacteria, Macrolide resistant bacteria, Vancomycin resitatn bacteria and β-lactam resistant bacteria.

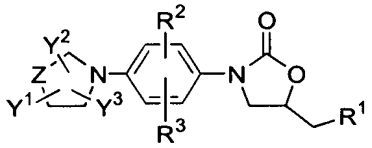
77. The method of claim 70, further comprising administering a second antibacterial agent in combination with the compound of claim 1, 8 or 9 to said subject.

78. The method of claim 77, wherein said second antibacterial agent is selected from the group consisting of β–lactams, aminoglycosides, other oxazolidinones, linezolid, fluoroquinolines, and macrolides.

79. A pharmaceutical composition comprising a) an antibacterially effective amount of the compound of claim 1, 8, or 9; and b) a pharmaceutically acceptable carrier.

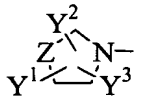
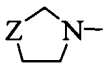
80. The pharmaceutical composition of claim 79, which is a tablet, a capsule, a powder, a syrup, a solution or a suspension.

81. A process for the preparation of compound of formula (I)



(I)

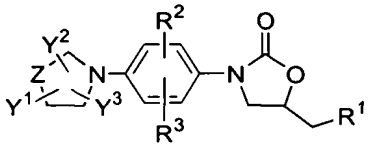
where R^1 represents -NHR^4, wherein R^4 represents –C(=S)-R^{4b}, wherein R^{4b} represents (C_1-C_{10})alkyl, halo(C_1-C_{10})alkyl, -C(=O)-(C_1-C_{10})alkoxy, -C(=O)-aryloxy, -C(=S)-(C_1-C_{10})alkyl or -C(=S)-aryl; R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10}) alkyl;

 is a heterocyclic moiety in which  is a 5-membered heterocyclic skeleton, Z represents O, S, =CH, -CH_2 or NR^b, where R^b is hydrogen or a moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_3-C_8)cycloalkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy, aryl, aralkyl, aryloxy, (C_1-C_{10})alkylcarbonyl, arylcarbonyl, (C_1-C_{10})alkoxycarbonyl and aryloxycarbonyl;

Y^1 represents =O or =S group and Y^2 and Y^3 independently represent hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylhydroxy, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyl, (C_1-C_{10}) alkoxycarbonyl, arylcarbonyl, carboxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylsulfonyl, (C_1-C_{10}) alkylcarbony(C_1-C_{10})alkyl, arylcarbonylamino(C_1-C_{10})alkyl, (C_1-C_{10}) alkylcarbonyloxy(C_1-C_{10})alkyl, amino(C_1-C_{10})alkyl, mono(C_1-C_{10})alkylamino, di(C_1-C_{10})alkylamino, arylamino, (C_1-C_{10})alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl;

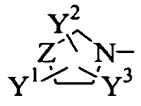
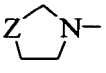
Y^2 and Y^3 when present on adjacent carbon atoms together may also form a substituted or unsubstituted 5 or 6 membered aromatic or non-aromatic cyclic structure, optionally containing one or two hetero atoms, selected from oxygen, sulfur or nitrogen which comprises: reacting the compound of formula (I) where R^1 represents NHR^4, wherein R^4 represents $-C(=O)-R^{4b}$, wherein R^{4b} represents (C_1-C_{10})alkyl, halo(C_1-C_{10})alkyl, -C(=O)-(C_1-C_{10})alkoxy, -C(=O)-aryloxy, -C(=S)-(C_1-C_{10})alkyl or -C(=S)-aryl; Y^1, Y^2, Y^3, R^2, R^3 and Z are as defined above, with 2,4-bis(methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide (Lawesson's reagent).

82. A process for the preparation of compound of formula (I)



(I)

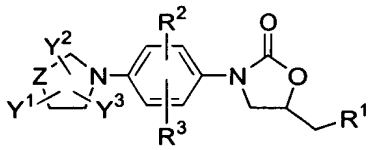
where R^1 represents -NHR^4, wherein R^4 represents $-C(=S)-SR^{4c}$ wherein (C_1-C_{10})alkyl group; R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10})alkyl;

 is a heterocyclic moiety in which  is a 5-membered heterocyclic skeleton, Z represents O, S, =CH, $-CH_2$ or NR^b, where R^b is hydrogen or a moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_3-C_8)cycloalkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl,

(C_1-C_{10})alkoxy, aryl, aralkyl, aryloxy, (C_1-C_{10})alkylcarbonyl, arylcarbonyl, (C_1-C_{10}) alkoxycarbonyl and aryloxycarbonyl;

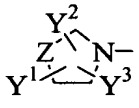
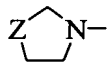
Y^1 represents =O or =S group and Y^2 and Y^3 independently represent hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylhydroxy, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyl, (C_1-C_{10}) alkoxycarbonyl, arylcarbonyl, carboxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylsulfonyl, (C_1-C_{10}) alkylcarbony(C_1-C_{10})alkyl, arylcarbonylamino(C_1-C_{10})alkyl, (C_1-C_{10}) alkylcarbonyloxy(C_1-C_{10})alkyl, amino(C_1-C_{10})alkyl, mono(C_1-C_{10})alkylamino, di(C_1-C_{10})alkylamino, arylamino, (C_1-C_{10})alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; Y^2 and Y^3 when present on adjacent carbon atoms together may also form a substituted or unsubstituted 5 or 6 membered aromatic or non-aromatic cyclic structure, optionally containing one or two hetero atoms, selected from oxygen, sulfur or nitrogen which comprises: reacting the compound of formula (I) where R^1 represents NHR^4, wherein R^4 represents hydrogen; Y^1, Y^2, Y^3, R^2, R^3 and Z are as defined above, by reacting with carbondisulfide, with an appropriate alkylhalide and a base selected from Et_3N, diisopropylethylamine, K_2CO_3, NaH or KOt-Bu.

83. A process for the preparation of compound of formula (I)



(I)

where R^1 represents -NHR^4, wherein R^4 represents -C(=S)-OR^{4d}, wherein R^{4d} represents (C_1-C_{10})alkyl, cyclo(C_3-C_8)alkyl, -(C=O)-(C_1-C_{10})alkyl group substituted with fluorine, aryl, halo(C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl or (C_2-C_{10})alkenyl; R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10})alkyl;

 is a heterocyclic moiety in which  is a 5-membered heterocyclic skeleton, Z represents O, S, =CH, -CH_2 or NR^b, where R^b is hydrogen or a

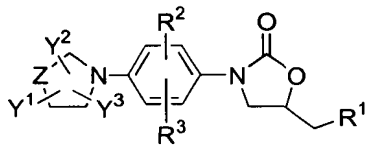
moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_3-C_8)cycloalkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy, aryl, aralkyl, aryloxy, (C_1-C_{10})alkylcarbonyl, arylcarbonyl, (C_1-C_{10}) alkoxycarbonyl and aryloxycarbonyl;

Y^1 represents =O or =S group and Y^2 and Y^3 independently represent hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylhydroxy, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyl, (C_1-C_{10}) alkoxycarbonyl, arylcarbonyl, carboxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylsulfonyl, (C_1-C_{10}) alkylcarbony(C_1-C_{10})alkyl, arylcarbonylamino(C_1-C_{10})alkyl, (C_1-C_{10}) alkylcarbonyloxy(C_1-C_{10})alkyl, amino(C_1-C_{10})alkyl, mono(C_1-C_{10})alkylamino, di(C_1-C_{10})alkylamino, arylamino, (C_1-C_{10})alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; Y^2 and Y^3 when present on adjacent carbon atoms together may also form a substituted or unsubstituted 5 or 6 membered aromatic or non-aromatic cyclic structure, optionally containing one or two hetero atoms, selected from oxygen, sulfur or nitrogen which comprises:

(i) converting compound of formula (I) where R^1 represents NHR^4, wherein R^4 represents hydrogen atom; Y^1, Y^2, Y^3, R^2, R^3 and Z are as defined above, to a compound of formula (I) where R^1 represents isothiocyanate group and all other symbols are as defined above, by reacting with thiophosgene and

(ii) converting compound of formula (I) where R^1 represents isothiocyanate group, to a compound of formula (I) where R^1 represents NHR^4, wherein R^4 represents –C(=S)-OR^{4d}, wherin R^{4d} represents (C_1-C_{10})alkyl, cyclo(C_3-C_8)alkyl, -(C=O)-(C_1-C_{10})alkyl group substituted with fluorine, aryl, halo(C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl or (C_2-C_{10})alkenyl and all symbols are as defined above, by reacting with alcohol.

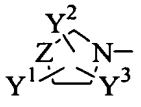
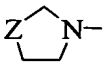
84. A process for the preparation of compound of formula (I)



(I)

where R^1 represents -NHR^4, wherein R^4 represents –C(=S)-N(R'R"), R' represents hydrogen, (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, substituted or unsubstituted aralkyl,

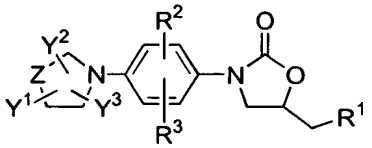
heteroaralkyl, hydroxy(C_1-C_{10})alkyl, R" represents hydrogen or (C_1-C_{10})alkyl or R' and R" together form a 5 or 6 membered cyclic structures containing one or two hetero atoms; R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10})alkyl;

 is a heterocyclic moiety in which  is a 5-membered heterocyclic skeleton, Z represents O, S, =CH, $-CH_2$ or NR^b, where R^b is hydrogen or a moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_3-C_8)cycloalkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy, aryl, aralkyl, aryloxy, (C_1-C_{10})alkylcarbonyl, arylcarbonyl, (C_1-C_{10})alkoxycarbonyl and aryloxycarbonyl;

Y^1 represents =O or =S group and Y^2 and Y^3 independently represent hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylhydroxy, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyl, (C_1-C_{10}) alkoxycarbonyl, arylcarbonyl, carboxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylsulfonyl, (C_1-C_{10}) alkylcarbony(C_1-C_{10})alkyl, arylcarbonylamino(C_1-C_{10})alkyl, (C_1-C_{10}) alkylcarbonyloxy(C_1-C_{10})alkyl, amino(C_1-C_{10})alkyl, mono(C_1-C_{10})alkylamino, di(C_1-C_{10})alkylamino, arylamino, (C_1-C_{10})alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl;

Y^2 and Y^3 when present on adjacent carbon atoms together may also form a substituted or unsubstituted 5 or 6 membered aromatic or non-aromatic cyclic structure, optionally containing one or two hetero atoms, selected from oxygen, sulfur or nitrogen which comprises: converting compound of formula (I) where R^1 represents isothiocyante group and all other symbols are as defined above by passing ammonia gas or by reacting with amine.

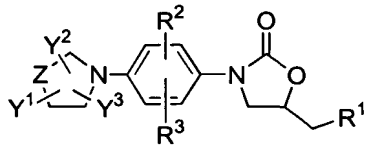
85. A process for the preparation of compound of formula (I),

 **(I)**

where Z represents NR^b wherein R^b represents hydrogen, Y^1 represents '=O' group, Y^2 and Y^3 independently represent hydrogen atom; R^1 represents -NHR^4, wherein R^4 represents thio(C_1-C_{10})acyl, - -C(=S)-cyclo(C_3-C_8)alkoxy, -C(=S)-(C_1-C_{10})alkoxy, -C(=S)-(C_2-C_{10})alkenyloxy, -C(=S)-aryloxy, (C=S)-S-(C_1-C_{10})alkyl, -(C=S)-NH_2, -(C=S)-NH-(C_1-C_{10})alkyl, -C(=S)-N-((C_1-C_{10})alkyl)$_2$, -C(=S)-NH-(C_2-C_{10})alkenyl, (C=S)-(C=O)-(C_1-C_{10})alkoxy, -(C=S)-(C=O)-aryloxy, -C(=S)-O-(C=O)-(C_1-C_{10})alkyl, C(=S)-C(=S)-(C_1-C_{10})alkyl, -C(=S)-C(=S)-aryl, -C(=S)-thiomorpholinyl or –C(=S)-pyrrolidinyl;

R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10})alkyl; Y^1 represents =O group; Y^2 and Y^3 independently represent hydrogen atom; which comprises: reacting the compound of formula (I) where Z represents NR^b wherein R^b represents substituted or unsubstituted (C_1-C_{10})alkyl group substituted with hydroxy group at α-position, Y^1 represents '=O group', Y^2 and Y^3 independently represent hydrogen atom and all other symbols are as defined above, with a base.

86. A process for the preparation of compound of formula (I),

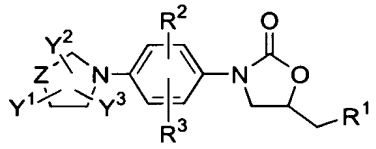


(I)

where Z represents NR^b wherein R^b represents substituted or unsubstituted (C_1-C_{10})alkyl or aralkyl, Y^1 represents '=O group', Y^2 and Y^3 independently represent hydrogen atom; R^1 represents NHR^4 wherein R^4 represents thio(C_1-C_{10})acyl, - -C(=S)-cyclo(C_3-C_8) alkoxy, -C(=S)-(C_1-C_{10})alkoxy, -C(=S)-(C_2-C_{10})alkenyloxy, -C(=S)-aryloxy, (C=S)-S-(C_1-C_{10})alkyl, -(C=S)-NH_2, -(C=S)-NH-(C_1-C_{10})alkyl, -C(=S)-N-((C_1-C_{10})alkyl)$_2$, -C(=S)-NH-(C_2-C_{10})alkenyl, (C=S)-(C=O)-(C_1-C_{10})alkoxy, -(C=S)-(C=O)-aryloxy, -C(=S)-O-(C=O)-(C_1-C_{10})alkyl, C(=S)-C(=S)-(C_1-C_{10})alkyl, -C(=S)-C(=S)-aryl, -C(=S)-thiomorpholinyl or –C(=S)-pyrrolidinyl; R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10}) alkyl; which comprises: reacting the compound of formula (I) where Z represents NR^b wherein R^b represents hydrogen atom; Y^1 represents '=O' group; Y^2 and

Y^3 independently represent hydrogen atom and all other symbols are as defined above, with a base and (C_1-C_{10})alkyl halide.

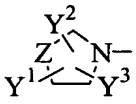
87. A process for the preparation of compound of formula (I),



(I)

where R^1 represents NHR^4 wherein R^4 represents hydrogen atom, or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, (C_1-C_{10})acyl, thio(C_1-C_{10})acyl, -C(=O)-(C_1-C_{10})alkoxy, -C(=S)-(C_3-C_8)cycloalkoxy, -C(=O)-(C_2-C_{10})alkenyloxy, -C(=O)- (C_2-C_{10})alkenyl, -C(=O)-aryloxy, -C(=S)-(C_1-C_{10})alkoxy, -C(=S)- (C_2-C_{10})alkenyloxy, -C(=S)-aryloxy, -C(=O)-C(=O)- (C_1-C_{10})alkyl, -C(=O)-C(=O)-aryl, -C(=O)-C(=O)- (C_1-C_{10})alkoxy, -C(=O)-C(=O)-aryloxy, -(C=S)-S-(C_1-C_{10})alkyl, -(C=S)-NH_2, -(C=S)-NH-(C_1-C_{10})alkyl, -C(=S)-N-$((C_1-C_{10})alkyl)_2$, -C(=S)-NH-(C_2-C_{10})alkenyl, (C=S)-(C=O)- (C_1-C_{10})alkoxy, -(C=S)-(C=O)-aryloxy, -C(=S)-O-(C=O)-(C_1-C_{10})alkyl, C(=S)-C(=S)- (C_1-C_{10})alkyl, -C(=S)-C(=S)-aryl, thiomorpholinyl-C(=S)- or pyrrolidinyl-C(=S)-;

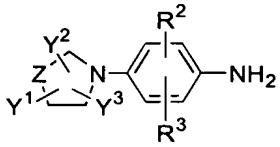
R^2 and R^3, which may be the same or different, are each independently hydrogen, halogen, (C_1-C_{10})alkyl, halogenated (C_1-C_{10})alkyl, cyano, nitro, SR^a, NR^a, or OR^a, in which R^a is hydrogen, (C_1-C_{10})alkyl or halogenated (C_1-C_{10})alkyl;

 is a heterocyclic moiety in which  is a 5-membered heterocyclic skeleton, Z represents O, S, =CH, $-CH_2$ or NR^b, where R^b is hydrogen or a moiety, which may be substituted or unsubstituted, straight chain or branched, selected from the group consisting of (C_1-C_{10})alkyl, (C_2-C_{10})alkenyl, (C_3-C_8)cycloalkyl, hydroxy(C_1-C_{10}) alkyl, (C_1-C_{10})alkylhydroxy, (C_1-C_{10})alkylamino, amino(C_1-C_{10})alkyl, (C_1-C_{10})alkoxy, aryl, aralkyl, aryloxy, (C_1-C_{10})alkylcarbonyl, arylcarbonyl, (C_1-C_{10})alkoxycarbonyl and aryloxycarbonyl;

Y^1 represents =O or =S group and Y^2 and Y^3 independently represent hydrogen, halogen, cyano, nitro, formyl, hydroxy, amino, =O, =S group or substituted or unsubstituted groups selected from (C_1-C_{10})alkyl, hydroxy(C_1-C_{10})alkyl, (C_1-C_{10}) alkylhydroxy, (C_1-C_{10})alkoxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylcarbonyl, (C_1-C_{10}) alkoxycarbonyl, arylcarbonyl, carboxy(C_1-C_{10})alkyl, (C_1-C_{10})alkylsulfonyl, (C_1-C_{10})

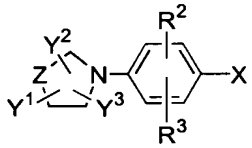
alkylcarbony(C_1-C_{10})alkyl, arylcarbonylamino(C_1-C_{10})alkyl, (C_1-C_{10}) alkylcarbonyloxy(C_1-C_{10})alkyl, amino(C_1-C_{10})alkyl, mono(C_1-C_{10})alkylamino, di(C_1-C_{10})alkylamino, arylamino, (C_1-C_{10})alkoxy, aryl, aryloxy, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl or heterocycloalkyl; Y^2 and Y^3 when present on adjacent carbon atoms together may also form a substituted or unsubstituted 5 or 6 membered aromatic or non-aromatic cyclic structure, optionally containing one or two hetero atoms selected from oxygen, sulfur or nitrogen, which comprises:

(i) reacting the compound of formula (VI),



(VI)

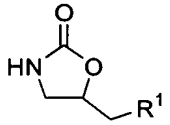
where all symbols are as defined above, to a compound of formula (VIa)



(VIa)

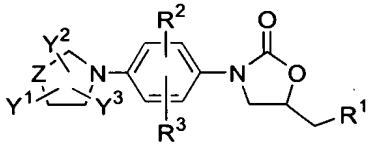
where all sybols are as defined above,

(ii) reacting the compound of formula (VIa), with a compound of formula (IXa)



(IXa)

where R^1 is as defined above, to obtain a compound of formula (I)



(I)

where all symbols are as defined above.